(FILE 'HOME' ENTERED AT 13:07:42 ON 19 MAR 2004)

FILE 'REGISTRY' ENTERED AT 13:07:56 ON 19 MAR 2004

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1 SSS SAM

L4 17 S L1 SSS FULL

FILE 'CAPLUS, MEDLINE, USPATFULL' ENTERED AT 13:09:13 ON 19 MAR 2004

L5 0 S L3

L6 12 S L4

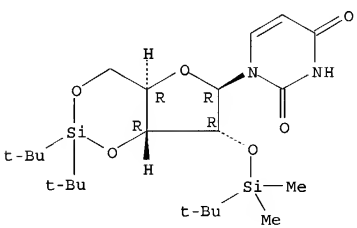
L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:714332 CAPLUS
TITLE: Synthesis of 2'-O-Substituted Ribonucleosides
AUTHOR(S): Serebryany, V.; Beigelman, L.
CORPORATE SOURCE: Ribozyme Pharmaceuticals Inc., Boulder, CO, 80301, USA
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2003), 22(5-8), 1007-1009
CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An efficient synthesis of 2'-O-substituted ribonucleosides, including 2'-O-TBDMS and 2'-O-TOM protected as well as 2'-O-Me and 2'-O-allyl derivs. is presented. Di-t-butylsilylene group was employed for simultaneous protection of 3'- and 5'-hydroxyl functions of nucleoside on the first step. Subsequent silylation or alkylation of free 2'-OH followed by introduction of suitable protection on the base moiety and removal of cyclic silyl protection gave target compds. in a high yield.

IT **212375-92-3P 212375-93-4P 401812-96-2P 401812-98-4P 401812-99-5P 401813-00-1P 438582-96-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of 2'-O-substituted ribonucleosides using di-t-butylsilylene protection at the 5' and 3'-positions)

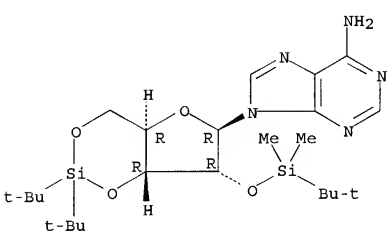
RN 212375-92-3 CAPLUS
CN Uridine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



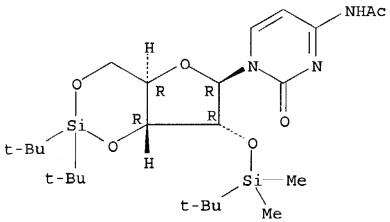
RN 212375-93-4 CAPLUS
CN Adenosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



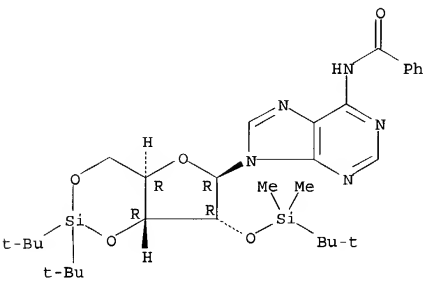
RN 401812-96-2 CAPLUS
CN Cytidine, N-acetyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



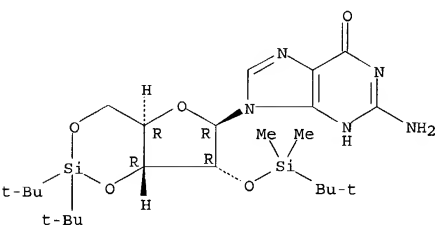
RN 401812-98-4 CAPLUS
CN Adenosine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 401812-99-5 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

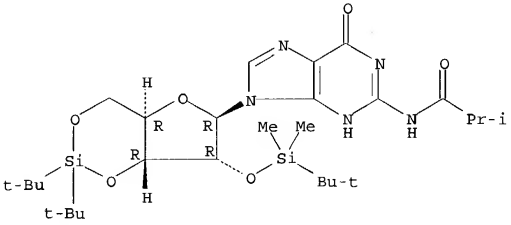
Absolute stereochemistry.



RN 401813-00-1 CAPLUS

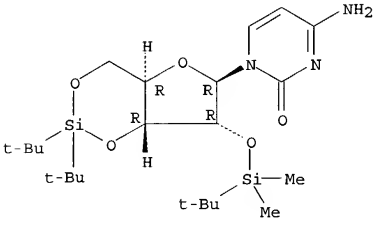
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 438582-96-8 CAPLUS
CN Cytidine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

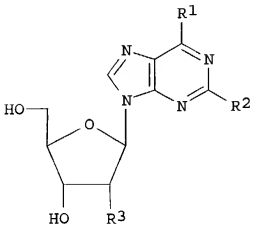


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:794206 CAPLUS
DOCUMENT NUMBER: 137:295195
TITLE: Methods for synthesizing nucleosides, nucleoside derivatives and non-nucleoside phosphoramidites and succinates
INVENTOR(S): Beigelman, Leonid; Karpeisky, Alexander; Serebryany, Vladmir; Haeberli, Peter; Sweedler, David
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 59 pp., Cont.-in-part of U.S. Ser. No. 944,554.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002150936	A1	20021017	US 2002-43951	20020111
US 2002120129	A1	20020829	US 2001-944554	20010831

US 6686463 B2 20040203
PRIORITY APPLN. INFO.: US 2000-230057P P 20000901
US 2001-286571P P 20010425
US 2001-944554 A2 20010831
OTHER SOURCE(S): CASREACT 137:295195
GI



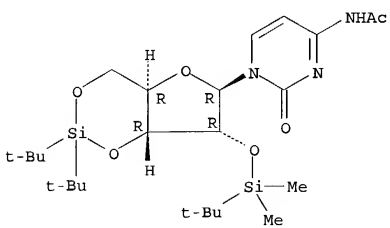
I

AB The present invention provides methods for the chemical synthesis of nucleosides I wherein R1 and R2 are independently hydrogen, substituted amine, aminoalkyl, fluoro or chloro; R3 is independently alkyl, alkoxyalkyl, alkylthioalkyl, cyanoalkyl, or arylalkyl optionally substituted with up to three groups that are independently halogen, alkoxy, nitro, or alkyl; and derivs. thereof, including 2'-amino, 2'-N-phthaloyl, 2'-O-Me, 2'-O-silyl, 2'-OH nucleosides, C-nucleosides, nucleoside phosphoramidites, C-nucleoside phosphoramidites, and non-nucleoside derivs. The invention provides a universal method for the synthesis of 2'-deoxy-2'-aminopurine and pyrimidine nucleosides and C-nucleosides that employs fewer synthetic steps, avoids the use of azides, and which concomitantly introduces N-phthaloyl protection of the 2'-amine. Thus, 5'-O-DMT-2'-deoxy-2'-N1-phthaloyl-N4-acetylcytidine 3'-O-(2-cyanoethyl-N,N-diisopropylphosphoramidite) was prepared

IT **401812-96-2P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(507; methods for synthesizing nucleosides, nucleoside derivs., and non-nucleoside phosphoramidites and succinates)

RN 401812-96-2 CAPLUS
CN Cytidine, N-acetyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



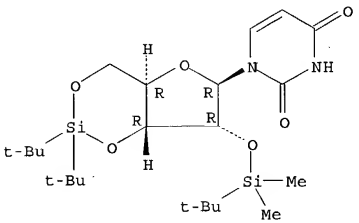
IT **212375-92-3P 212375-93-4P 401812-98-4P**
401812-99-5P 401813-00-1P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods for synthesizing nucleosides, nucleoside derivs., and non-nucleoside phosphoramidites and succinates)

RN 212375-92-3 CAPLUS

CN Uridine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

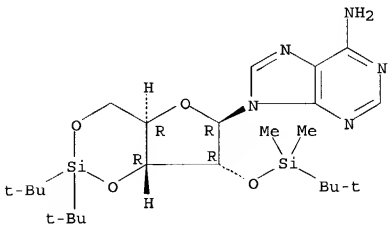
Absolute stereochemistry.



RN 212375-93-4 CAPLUS

CN Adenosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

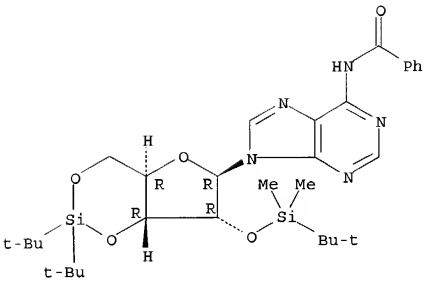
Absolute stereochemistry.



RN 401812-98-4 CAPLUS

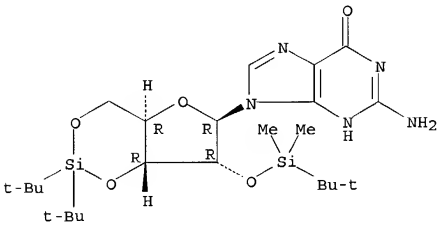
CN Adenosine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



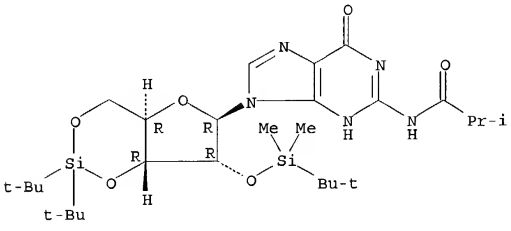
RN 401812-99-5 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 401813-00-1 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:171919 CAPLUS
DOCUMENT NUMBER: 136:200423
TITLE: Methods for synthesizing nucleosides, nucleoside derivatives and non-nucleoside phosphoramidites and

succinates

INVENTOR(S): Beigelman, Leonid; Karpeisky, Alexander; Serebryany, Vladimir; Haeberli, Peter; Sweedler, David

PATENT ASSIGNEE(S): Ribozyme Pharmaceuticals, Incorporated, USA

SOURCE: PCT Int. Appl., 118 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018405	A2	20020307	WO 2001-US27116	20010831
WO 2002018405	A3	20030103		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001086959	A5	20020313	AU 2001-86959	20010831
EP 1313752	A2	20030528	EP 2001-966449	20010831

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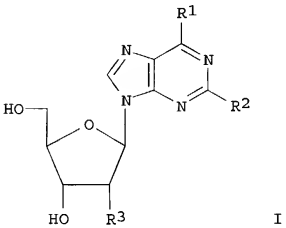
PRIORITY APPLN. INFO.:	US 2000-230057P	P	20000901
	US 2001-286571P	P	20010425
	WO 2001-US27116	W	20010831

OTHER SOURCE(S): CASREACT 136:200423; MARPAT 136:200423

GI



I

AB The present invention provides methods for the chemical synthesis of nucleosides I wherein R1 and R2 are independently hydrogen, substituted amine, aminoalkyl, fluoro or chloro; R3 is independently alkyl, alkoxyalkyl, alkylthioalkyl, cyanoalkyl, or arylalkyl optionally substituted with up to three groups that are independently halogen, alkoxy, nitro, or alkyl; and derivs. thereof, including 2'-amino, 2'-N-phthaloyl, 2'-O-Me, 2'-O-silyl, 2'-OH nucleosides, C-nucleosides, nucleoside phosphoramidites, C-nucleoside phosphoramidites, and non-nucleoside derivs. The invention provides a universal method for the synthesis of 2'-deoxy-2'-aminopurine and pyrimidine nucleosides and C-nucleosides that employs fewer synthetic steps, avoids the use of azides, and which concomitantly introduces N-phthaloyl protection of the

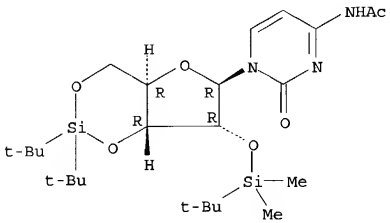
2'-amine. Thus, 5'-O-DMT-2'-deoxy-2'-N1-phthaloyl-N4-acetylcytidine 3'-O-(2-cyanoethyl-N,N-diisopropylphosphoramidite).

IT **401812-96-2P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(507; methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

RN 401812-96-2 CAPLUS

CN Cytidine, N-acetyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

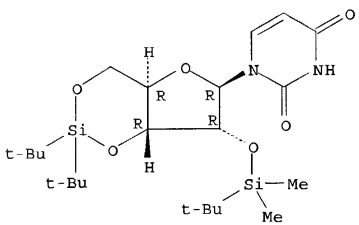


IT **212375-92-3P 212375-93-4P 401812-98-4P 401812-99-5P 401813-00-1P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)

RN 212375-92-3 CAPLUS

CN Uridine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

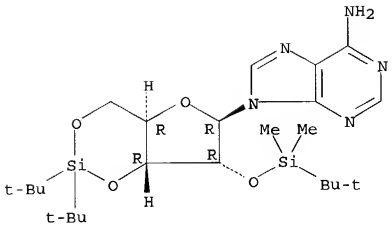
Absolute stereochemistry.



RN 212375-93-4 CAPLUS

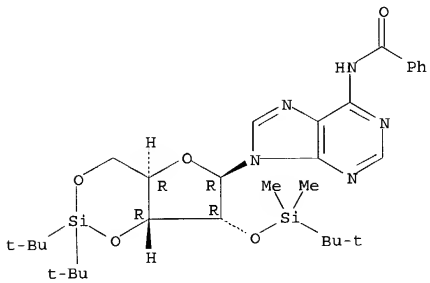
CN Adenosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



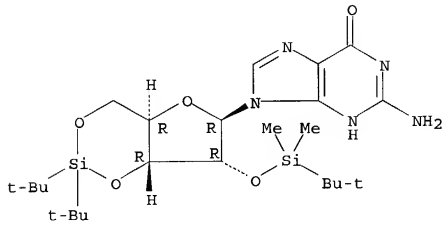
RN 401812-98-4 CAPLUS
CN Adenosine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



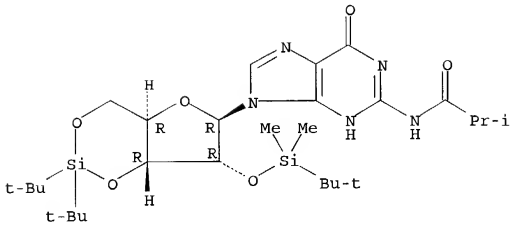
RN 401812-99-5 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 401813-00-1 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



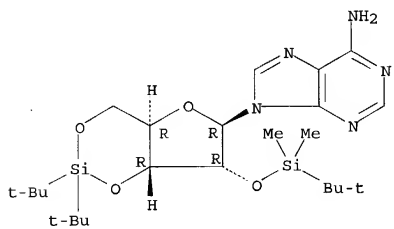
L6 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:149683 CAPLUS
DOCUMENT NUMBER: 137:47388
TITLE: An efficient preparation of protected ribonucleosides for phosphoramidite RNA synthesis
AUTHOR(S): Serebryany, Vladimir; Beigelman, Leonid
CORPORATE SOURCE: Department of Organic Chemistry, Ribozyme Pharmaceuticals Inc., Boulder, CO, 80301, USA
SOURCE: Tetrahedron Letters (2002), 43(11), 1983-1985
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:47388

AB An efficient synthesis of protected ribonucleosides useful for phosphoramidite RNA synthesis is described. Di-t-butylsilylene group was employed for simultaneous protection of 3'- and 5'-hydroxyl functions of nucleoside. Subsequent silylation of free 2'-OH group followed by introduction of suitable protection on the base moiety, removal of cyclic silyl protection and tritylation of 5'-OH gave target compds. in 60-66% overall yield.

IT **212375-93-4P 401812-96-2P 401812-98-4P**
401812-99-5P 401813-00-1P 438582-96-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of protected ribonucleosides to be used as synthons in phosphoramidite RNA synthesis)

RN 212375-93-4 CAPLUS
CN Adenosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

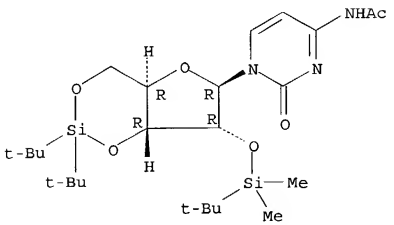
Absolute stereochemistry.



RN 401812-96-2 CAPLUS
CN Cytidine, N-acetyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-

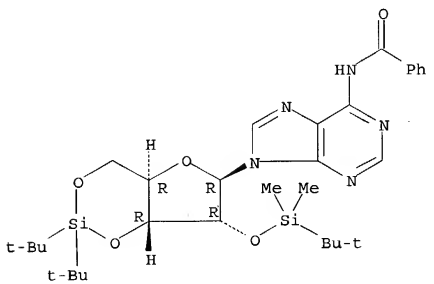
dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



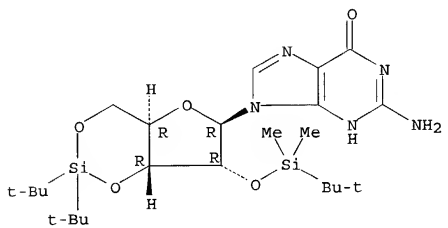
RN 401812-98-4 CAPLUS
CN Adenosine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 401812-99-5 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

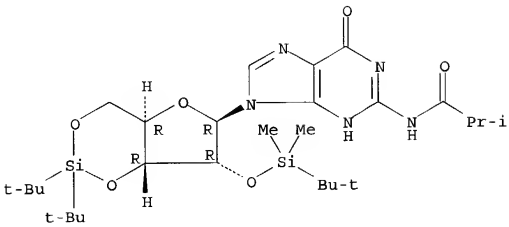
Absolute stereochemistry.



RN 401813-00-1 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX

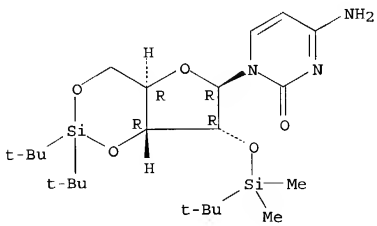
NAME)

Absolute stereochemistry.



RN 438582-96-8 CAPLUS
CN Cytidine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

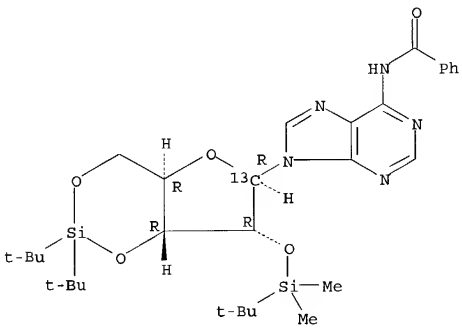
L6 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:675073 CAPLUS
DOCUMENT NUMBER: 136:37850
TITLE: Efficient synthesis of D-[1'-13C]-ribonucleosides for incorporation into oligo-RNA
AUTHOR(S): Saito, Y.; Nyilas, A.; Agrofoglio, L. A.
CORPORATE SOURCE: I.C.O.A. associe CNRS, Faculte des Sciences, Orleans, 45100, Fr.
SOURCE: Nucleosides, Nucleotides & Nucleic Acids (2001), 20(4-7), 937-940
CODEN: NNNAFY; ISSN: 1525-7770
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:37850
AB Syntheses of the monomer building blocks used for the solid-phase synthesis of specifically 1'-13C-labeled oligoribonucleotides from the D-[1-13C]ribose is presented. The procedure has been used for the selective formation of 2'-O-silylated ribonucleosides. Following 5'-O-dimethoxytritylation, the synthesis of D-[1'-13C] ribonucleoside phosphoramidites has been achieved.
IT **335595-77-2P 335595-79-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(synthesis of ribonucleosides for incorporation into oligo-RNA)

RN 335595-77-2 CAPLUS

CN Adenosine-1'-13C, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

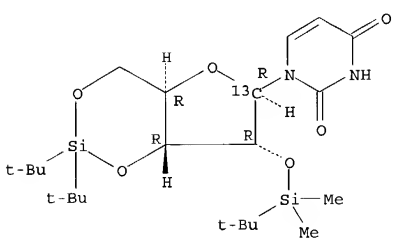
Absolute stereochemistry.



RN 335595-79-4 CAPLUS

CN Uridine-1'-13C, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:154378 CAPLUS

DOCUMENT NUMBER: 134:326702

TITLE: Synthesis of isotopically labeled d-[1'-13C]ribonucleoside phosphoramidites

AUTHOR(S): Saito, Y.; Nyilas, A.; Agrofoglio, L. A.

CORPORATE SOURCE: Institut de Chimie Organique et Analytique, CNRS UMR 6005, Universite d'Orleans, Orleans, 45100, Fr.

SOURCE: Carbohydrate Research (2001), 331(1), 83-90
CODEN: CRBRAT; ISSN: 0008-6215

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

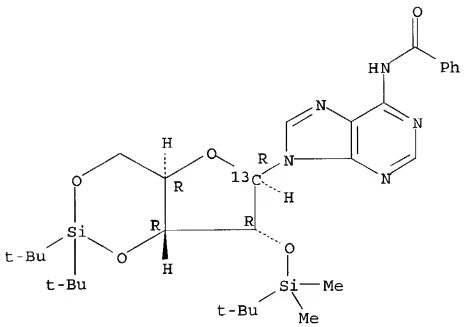
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:326702

AB The preparation of fully protected labeled diisopropylamino-β-cyanoethyl-[1'-13C]ribonucleoside phosphoramidites with regioisomeric purity is described. We demonstrated in this paper that a regioselective 2'-O-silylation, through a 3',5'-O-di-tert-butylsilanediyl protection, has been applied for the synthesis of [1'-13C]ribonucleoside phosphoramidite units. This method allowed us to obtain only the desired 2'-O-silyl-3'-O-phosphoramidites avoiding the undesired 3'-O-silyl-2'-O-phosphoramidite nucleosides isolated by standard procedures. This is a suitable procedure to RNA precursors with respect to the isotope-containing precursors.

IT **335595-77-2P 335595-78-3P 335595-79-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of isotopically labeled d-[1'-13C]ribonucleoside phosphoramidites via regioselective silylation as synthons for RNA)

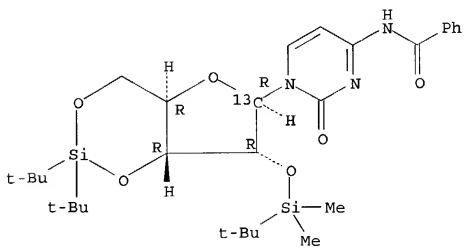
RN 335595-77-2 CAPLUS
CN Adenosine-1'-13C, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



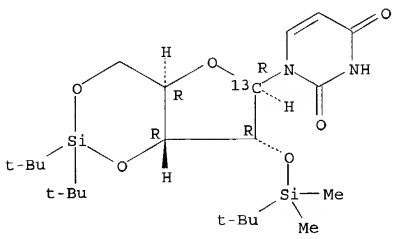
RN 335595-78-3 CAPLUS
CN Cytidine-1'-13C, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 335595-79-4 CAPLUS
CN Uridine-1'-13C, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1999:17764 CAPLUS
DOCUMENT NUMBER: 130:182710
TITLE: 2'-C-Branched Ribonucleosides: Synthesis of the Phosphoramidite Derivatives of 2'-C-β-Methylcytidine and Their Incorporation into Oligonucleotides
AUTHOR(S): Tang, Xiao-Qing; Liao, Xiangmin; Piccirilli, Joseph A.
CORPORATE SOURCE: Howard Hughes Medical Institute Departments of Biochemistry Molecular Biology and Chemistry, University of Chicago, Chicago, IL, 60637, USA
SOURCE: Journal of Organic Chemistry (1999), 64(3), 747-754
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB We describe a strategy for the incorporation of a 2'-C-branched ribonucleoside, 2'-C-β-methylcytidine, into oligonucleotides via solid-phase synthesis using phosphoramidite derivs. 4-N-Benzoyl-2'-C-β-methylcytidine was synthesized by coupling persilylated 4-N-benzoylcytosine with 1,2,3,5-tetra-O-benzoyl-2-C-β-methyl-α-(and β)-D-ribofuranose in the presence of SnCl4 in acetonitrile, followed by selective deprotection with NaOH in pyridine/methanol. The 3'- and 5'-hydroxyl groups were blocked as a cyclic di-tert-butylsilanediyl ether by treatment with di-tert-butyldichlorosilane/AgNO3 in DMF. The 2'-hydroxyl group was then protected as a tert-butyldimethylsilyl ether by treatment with tert-butylmagnesium chloride followed by addition of tert-butyldimethylsilyl trifluoromethanesulfonate in THF. As an alternative to 2'-silyl protection, the corresponding 2'-O-tetrahydropyranyl ether was prepared by treatment with 4,5-dihydro-2H-pyran in the presence of a catalytic amount of 10-camphorsulfonic acid in methylene chloride. The di-tert-butylsilanediyl groups were removed by treatment with pyridinium poly(hydrogen fluoride). Protection of the 5'-hydroxyl group as a dimethoxytrityl ether and phosphitylation of the 3'-hydroxyl group by the standard procedure gave the phosphoramidite derivs. Both these derivs. could be used to incorporate 2'-C-β-methylcytidine into oligonucleotides efficiently via standard solid-phase synthesis, but the tetrahydropyranyl group was more readily removed from oligonucleotides than the tert-butyldimethylsilyl group. Oligonucleotides containing

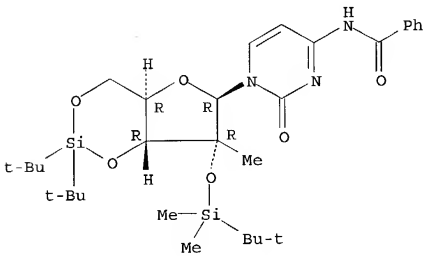
2'-C-β-methylcytidine undergo base-catalyzed degradation analogous to natural RNA.

IT **220503-66-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of the phosphoramidite derivs. of 2'-C-β-methylcytidine and their incorporation into oligonucleotides)

RN 220503-66-2 CAPLUS

CN Cytidine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-2'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

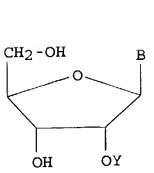


REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

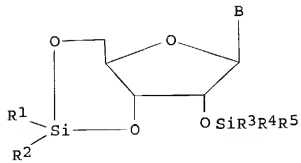
L6 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:555731 CAPLUS
DOCUMENT NUMBER: 129:216860
TITLE: Preparation of bifunctional silane-protected 2'-O-silylnucleosides and 2'-O-silylnucleosides from them
INVENTOR(S): Furusawa, Kiyotaka
PATENT ASSIGNEE(S): Agency of Industrial Sciences and Technology, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 10226697	A2	19980825	JP 1997-33808	19970218
JP 3032815	B2	20000417		

PRIORITY APPLN. INFO.: JP 1997-33808 19970218
OTHER SOURCE(S): CASREACT 129:216860; MARPAT 129:216860
GI



I



II

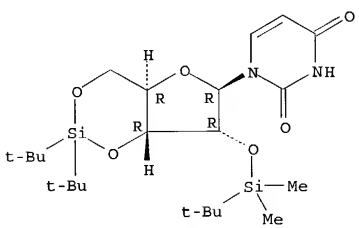
AB 2'-O-silylnucleosides I [B = (un)protected nucleic acid base; Y = SiR3R4R5; R3-R5 = aromatic, aliphatic] are prepared by cyclic silylation of nucleosides I (B = same as above; Y = H) with X12SiR1R2 (R1, R2 = aromatic, C≥3 branched aliphatic; X1 = acid residue), silylation with X2SiR3R4R5 (R3-R5 = same as above; X2 = leaving group), and desilylation of silane-protected 2'-O-silylnucleosides II (B, R1-R5 = same as above). Uridine (0.4 mmol) was silylated with di-tert-butylsilyl bis(trifluoromethanesulfonate) in DMF at room temperature for 4 min and silylated with tert-butyldimethylsilyl trifluoromethanesulfonate for 10 min to give 174 mg 2'-O-tert-butyldimethylsilyl-3',5'-O-(di-tert-butylsilanediyl)uridine, which was treated with Bu3N and HF in THF for 1 h to give 2'-O-tert-butyldimethylsilyluridine.

IT **212375-92-3P 212375-93-4P 212375-94-5P**
212375-95-6P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of silylnucleosides by protection of nucleosides with silanes, silylation with silanes, and deprotection)

RN 212375-92-3 CAPLUS

CN Uridine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

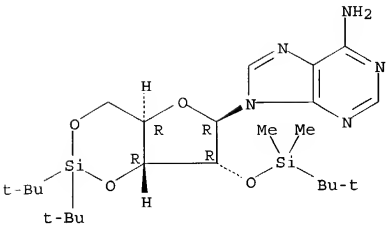
Absolute stereochemistry.



RN 212375-93-4 CAPLUS

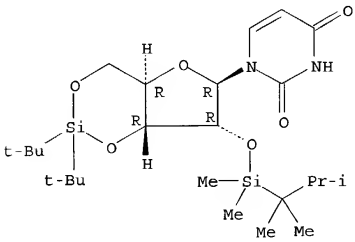
CN Adenosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



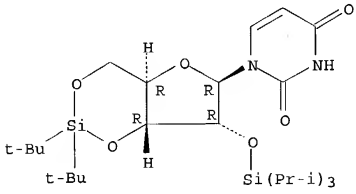
RN 212375-94-5 CAPLUS
CN Uridine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[dimethyl(1,1,2-trimethylpropyl)silyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 212375-95-6 CAPLUS
CN Uridine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[tris(1-methylethyl)silyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

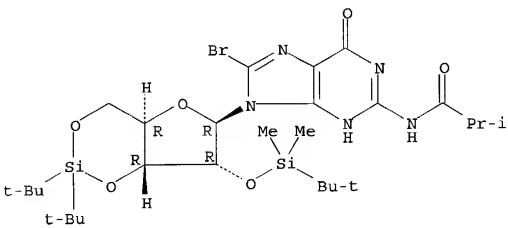


L6 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:407842 CAPLUS
DOCUMENT NUMBER: 127:109140
TITLE: Synthesis of guanosine analogs bearing pendant alkylthiol tethers
AUTHOR(S): Gundlach, C. William, IV; Ryder, Todd R.; Glick, Gary D.
CORPORATE SOURCE: Department of Chemistry, University of Michigan, Ann Arbor, MI, 48109-1055, USA
SOURCE: Tetrahedron Letters (1997), 38(23), 4039-4042

CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Synthesis of three guanosine monomers substituted with alkylthiol chains at either carbon -8 or the 2'-hydroxyl is described. The ready accessibility of these monomers with facilitate the use of disulfide cross-links to study the folding and dynamics of RNA and will also provide loci for conjugation of reporter groups.
IT **192316-99-7P 192317-00-3P 192317-01-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of guanosine analogs bearing pendant alkylthiol tethers)

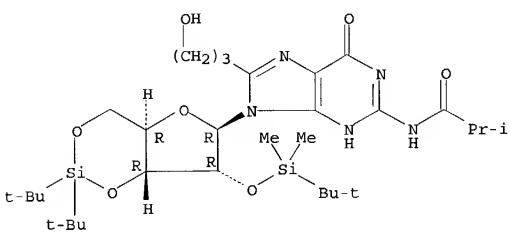
RN 192316-99-7 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-8-bromo-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



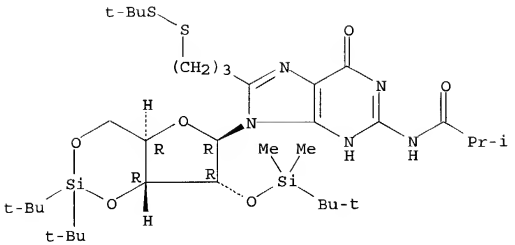
RN 192317-00-3 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-8-(3-hydroxypropyl)-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



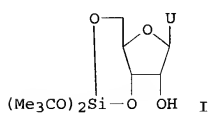
RN 192317-01-4 CAPLUS
CN Guanosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-8-[3-[(1,1-dimethylethyl)dithio]propyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1989:407738 CAPLUS
DOCUMENT NUMBER: 111:7738
TITLE: Simultaneous protection of 3' - and 5'-hydroxyls of ribonucleosides with di-tert-butoxydichlorosilane
AUTHOR(S): Markiewicz, Wojciech T.; Adrych, Katarzyna
CORPORATE SOURCE: Inst. Bioorg. Chem., Pol. Acad. Sci., Poznan, 61-704, Pol.
SOURCE: Nucleosides & Nucleotides (1988), 7(5-6), 671-4
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:7738
GI



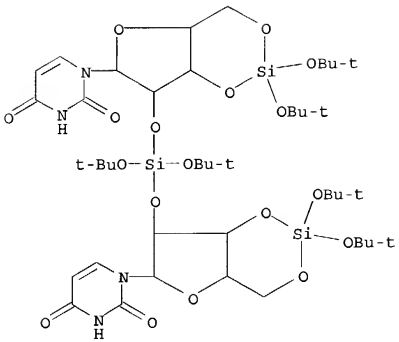
I

AB Treatment of uridine with (Me3CO)2SiCl2 (DBSiCl2) in pyridine at -30° afforded 3',5'-protected derivative I. With excess DBSiCl2, the dialkoxysilyl 2',2'-linked derivative was formed. The DBSi group is cleaved by Bu4NF, Et3NHF, or 0.2M HCl or NaOH in aqueous dioxane.

IT **121149-79-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 121149-79-9 CAPLUS

CN Uridine, cyclic 3',5'-ester with silicic acid (H4SiO4) bis(1,1-dimethylethyl) ester, 2',2'''-ester with silicic acid (H4SiO4) bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)



L6 ANSWER 11 OF 12 USPATFULL on STN

ACCESSION NUMBER:	2002:272815 USPATFULL
TITLE:	Methods for synthesizing nucleosides, nucleoside derivatives and non-nucleoside derivatives
INVENTOR(S):	Beigelman, Leonid, Longmont, CO, UNITED STATES Karpeisky, Alexander, Lafayette, CO, UNITED STATES Serebryany, Vladmir, Boulder, CO, UNITED STATES Haeberli, Peter, Berthoud, CO, UNITED STATES Sweedler, David, Louisville, CO, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002150936	A1	20021017
APPLICATION INFO.:	US 2002-43951	A1	20020111 (10)
RELATED APPLN. INFO.:	Continuation-in-part of Ser. No. US 2001-944554, filed on 31 Aug 2001, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-286571P	20010425 (60)
	US 2000-230057P	20000901 (60)

DOCUMENT TYPE:	Utility
FILE SEGMENT:	APPLICATION
LEGAL REPRESENTATIVE:	MCDONNELL BOEHNEN HULBERT & BERGHOFF, 300 SOUTH WACKER DRIVE, SUITE 3200, CHICAGO, IL, 60606
NUMBER OF CLAIMS:	45
EXEMPLARY CLAIM:	1
NUMBER OF DRAWINGS:	15 Drawing Page(s)
LINE COUNT:	4139

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides methods for the chemical synthesis of nucleosides and derivatives thereof, including 2'-amino, 2'-N-phthaloyl, 2'-O-methyl, 2'-O-silyl, 2'-O-triisopropylsilyloxymethyl, 2'-OH nucleosides, C-nucleosides, nucleoside phosphoramidites, C-nucleoside phosphoramidites, and non-nucleoside derivatives.

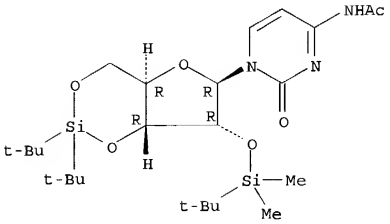
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **401812-96-2P**
(507; methods for synthesizing nucleosides, nucleoside derivs., and non-nucleoside phosphoramidites and succinates)

RN 401812-96-2 USPATFULL

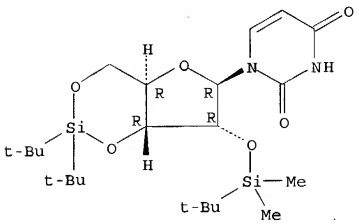
CN Cytidine, N-acetyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



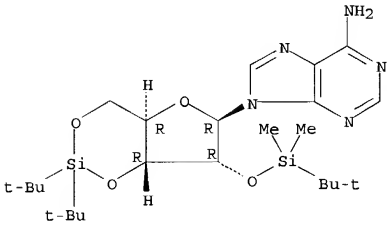
IT **212375-92-3P 212375-93-4P 401812-98-4P**
401812-99-5P 401813-00-1P
(methods for synthesizing nucleosides, nucleoside derivs., and non-nucleoside phosphoramidites and succinates)
RN 212375-92-3 USPATFULL
CN Uridine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



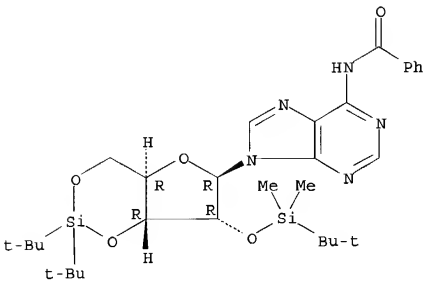
RN 212375-93-4 USPATFULL
CN Adenosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



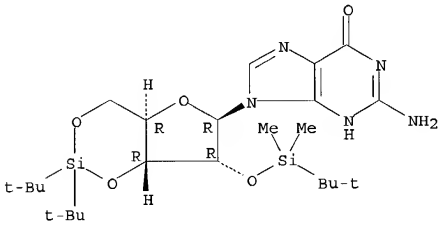
RN 401812-98-4 USPATFULL
CN Adenosine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



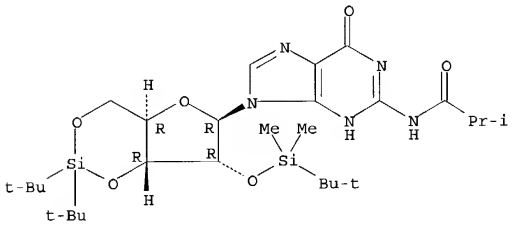
RN 401812-99-5 USPATFULL
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 401813-00-1 USPATFULL
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 12 OF 12 USPATFULL on STN
ACCESSION NUMBER: 2002:221984 USPATFULL

TITLE: Methods for synthesizing nucleosides, nucleoside derivatives and non-nucleoside derivatives

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PATENT INFORMATION:	US 2002120129	A1	20020829	
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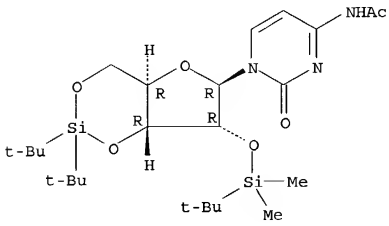
DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: MCDONNELL BOEHNEN HULBERT & BERGHOFF, 300 SOUTH WACKER DRIVE, SUITE 3200, CHICAGO, IL, 60606
NUMBER OF CLAIMS: 75
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 15 Drawing Page(s)
LINE COUNT: 3846
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides methods for the chemical synthesis of nucleosides and derivatives thereof, including 2'-amino, 2'-N-phthaloyl, 2'-O-methyl, 2'-O-silyl, 2'OH nucleosides, C-nucleosides, nucleoside phosphoramidites, C-nucleoside phosphoramidites, and non-nucleoside derivatives.

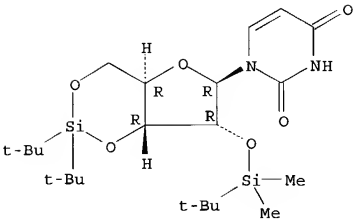
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **401812-96-2P**
(507; methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)
RN 401812-96-2 USPATFULL
CN Cytidine, N-acetyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



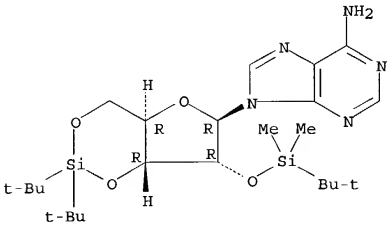
IT **212375-92-3P 212375-93-4P 401812-98-4P 401812-99-5P 401813-00-1P**
(methods for synthesizing nucleosides nucleoside derivs. and non-nucleoside phosphoramidites and succinates)
RN 212375-92-3 USPATFULL
CN Uridine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



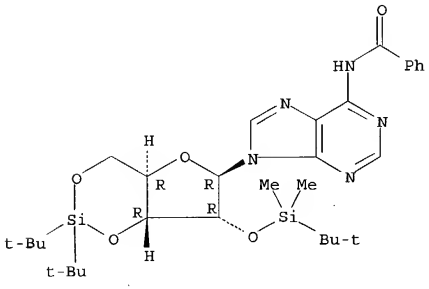
RN 212375-93-4 USPATFULL
CN Adenosine, 3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



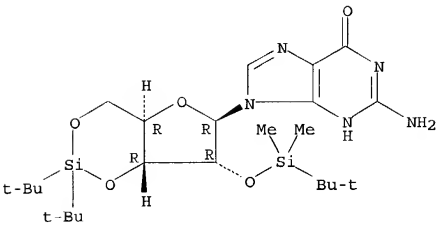
RN 401812-98-4 USPATFULL
CN Adenosine, N-benzoyl-3',5'-O-[bis(1,1-dimethylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



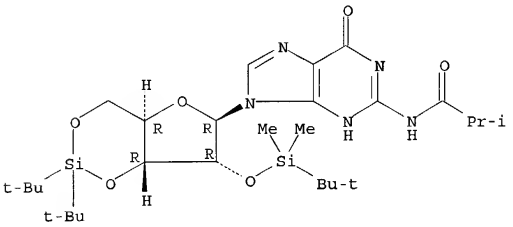
RN 401812-99-5 USPATFULL
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 401813-00-1 USPATFULL
CN Guanosine, 3',5'-O-[bis(1,1-methylethyl)silylene]-2'-O-[(1,1-dimethylethyl)dimethylsilyl]-N-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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